



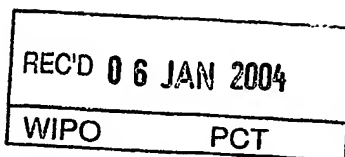
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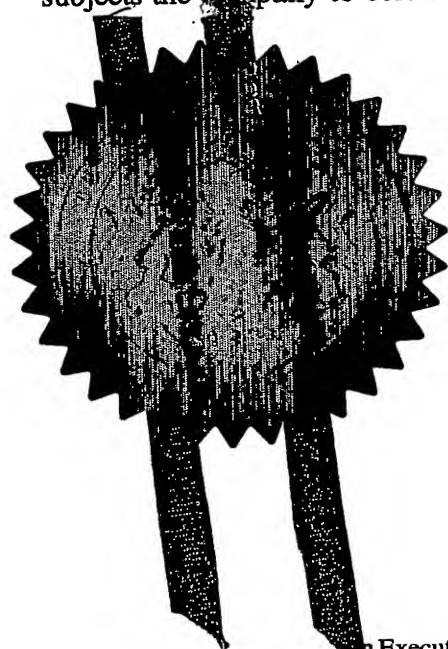
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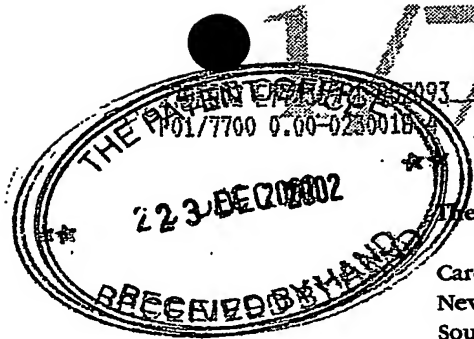
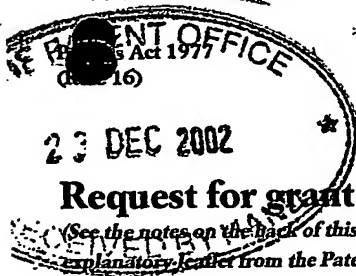
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Signed *Andrew Gray*
Dated 15 October 2003



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Request for grant of a patent

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1. Your reference

PPD 70189/GB/P

2. Patent application number

(The Patent Office will fill in this part)

0230018.4

23 DEC 2002

3. Full name, address and postcode of the or of each applicant (underline all surnames)

SYNGENTA Limited
European Regional Centre
Priestley Road
Surrey Research Park, Guildford,
Surrey, GU2 7YH, United Kingdom

Patents ADP number (if you know it)

6254007002

08330748001

If the applicant is a corporate body, give the country/state of its incorporation

UNITED KINGDOM

4. Title of the invention

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5. Name of your agent (if you have one)

"Address for service" in the United Kingdom to which all correspondence should be sent (including the postcode)

Malcolm John HOUGHTON
Intellectual Property Department
Syngenta Limited
Jealott's Hill International Research Centre
PO Box 3538
Bracknell, Berkshire, RG42 6YA
UNITED KINGDOM

Patents ADP number (if you know it)

0 815 776 0001

6. If you are declaring priority from one or more earlier patent applications, give the country and the date of filing of the or of each of these earlier applications and (if you know it) the or each application number

Country

Priority application number
(if you know it)

Date of filing
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7. If this application is divided or otherwise derived from an earlier UK application, give the number and the filing date of the earlier application

Number of earlier application

Date of filing
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8. Is a statement of inventorship and of right to grant of a patent required in support of this request? (Answer 'Yes' if:

- a) any applicant named in part 3 is not an inventor, or
- b) there is an inventor who is not named as an applicant, or
- c) any named applicant is a corporate body.

See note (d))

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Patents Form 1/77

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Continuation sheets of this form

Description

35

Claim(s)

06

Abstract

00

Drawing(s)

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10. If you are also filing any of the following, state how many against each item.

Priority documents

Translations of priority documents

Statement of inventorship and right to grant of a patent (Patents Form 7/77)

Request for preliminary examination and search (Patents Form 9/77)

Request for substantive examination (Patents Form 10/77)

Any other documents (please specify)

11.

I/We request the grant of a patent on the basis of this application.
Syngenta Limited

Signature M A Rudd
Authorised Signatory

Date 23/12/02

12. Name and daytime telephone number of person to contact in the United Kingdom

Margaret Ann RUDD - 01344 413673

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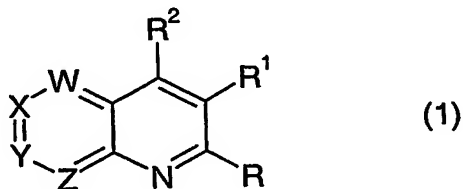
This invention relates to novel derivatives of nitrogen-containing 6,6-ring systems, to processes for preparing them, to certain intermediate chemicals used in their manufacture, to compositions containing them and to methods of using them to combat fungi, especially fungal infections of plants.

Derivatives of the nitrogen-containing 5,6 ring system *s*-1,2,4-triazolo[1,5-*a*]pyrimidine are known from the patent literature as being useful for controlling phytopathogenic fungi. Examples of recent patent publications include EP-A-1249452, WO 02/055480, WO 02/083676, WO 02/083677, WO 02/088125, WO 02/088126, WO 02/088127.

Derivatives of nitrogen-containing 6,6 ring systems, such as naphthyridines and pyridopyrazines are known in the chemical literature, for example from the *European Journal of Medicinal Chemistry* (200), 35(11), 1021-1035, *J. Med. Chem.* (1970), 13(5), 853-7 and US 3984412, but not for agrochemical purposes.

The present invention is concerned with the provision of novel naphthyridines for use as plant fungicides.

Thus, according to the present invention, there is provided a compound of the general formula (1):



wherein

one of W, X, Y and Z is N and the others are CR⁸;

R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl;

R and R² are independently H, halo, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, cyano or NR³R⁴, provided that at least one of R and R² is NR³R⁴;

R¹ is halo, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, C₁₋₈ alkoxy, C₁₋₈ alkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroaryloxy, heteroarylthio, aryl(C₁₋₄)alkyl, aryl(C₁₋₄)alkoxy, heteroaryl(C₁₋₄)alkyl, heteroaryl(C₁₋₄)alkoxy, aryl(C₁₋₄)alkylthio, heteroaryl(C₁₋₄)alkylthio, morpholino, piperidino or pyrrolidino;

- R^3 and R^4 are independently H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, aryl(C_{1-8})alkyl, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl(C_{1-6})alkyl, heteroaryl, heteroaryl(C_{1-8})alkyl, NR^5R^6 , provided that not both R^3 and R^4 are H or NR^5R^6 , or R^3 and R^4 together form a C_{3-6} alkylene chain optionally substituted with one or more methyl groups, or, together with the nitrogen atom to which they are attached, R^3 and R^4 form a morpholine ring ; and
- R^5 and R^6 are independently H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, aryl(C_{1-8})alkyl, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl(C_{1-6})alkyl, heteroaryl or heteroaryl(C_{1-8})alkyl; any of the alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties being optionally substituted with halogen, cyano, C_{1-6} alkoxy, C_{1-6} alkylcarbonyl, C_{1-6} alkoxycarbonyl, C_{1-6} haloalkoxy, C_{1-6} alkylthio, C_{1-6} alkylamino or C_{1-6} dialkylamino, and any of the aryl or heteroaryl groups or moieties being optionally substituted with one or more substituents selected from halo, hydroxy, mercapto, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, C_{2-6} alkenyloxy, C_{2-6} alkynyloxy, halo(C_{1-6})alkyl, halo(C_{1-6})alkoxy, C_{1-6} alkylthio, halo(C_{1-6})alkylthio, hydroxy(C_{1-6})alkyl, C_{1-4} alkoxy(C_{1-6})alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl(C_{1-4})alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothiocyanato, nitro, $-NR''R'''$, $-NHCOR''$, $-NHCONR''R'''$, $-CONR''R'''$, $-SO_2R''$, $-OSO_2R''$, $-COR''$, $-CR''=NR'''$ or $-N=CR''R'''$, in which R'' and R''' are independently hydrogen, C_{1-4} alkyl, halo(C_{1-4})alkyl, C_{1-4} alkoxy, halo(C_{1-4})alkoxy, C_{1-4} alkylthio, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl(C_{1-4})alkyl, phenyl or benzyl, the phenyl and benzyl groups being optionally substituted with halogen, C_{1-4} alkyl or C_{1-4} alkoxy.

The compounds of the invention may contain one or more asymmetric carbon atoms and may exist as enantiomers (or as pairs of diastereoisomers) or as mixtures of such. However, these mixtures may be separated into individual isomers or isomer pairs, and this invention embraces such isomers and mixtures thereof in all proportions. It is to be expected that for any given compound, one isomer may be more fungicidally active than another.

Except where otherwise stated, alkyl groups and alkyl moieties of alkoxy, alkylthio, etc., contain from 1 to 8, suitably from 1 to 6 and typically from 1 to 4, carbon atoms in the form of straight or branched chains. Examples are methyl, ethyl, *n*- and *iso*-propyl, *n*-, *sec*-, *iso*- and *tert*-butyl, *n*-pentyl and *n*-hexyl. Haloalkyl groups or moieties are typically trichloromethyl or trifluoromethyl or contain a trichloromethyl or trifluoromethyl terminal group.

Except where otherwise stated, alkenyl and alkynyl moieties also contain from 2 to 8, suitably from 2 to 6 and typically from 2 to 4, carbon atoms in the form of straight or branched chains. Examples are allyl, 2-methylallyl and propargyl. Optional substituents include halo, typically fluoro. An example of halo-substituted alkenyl is 3,4,4-trifluoro-*n*-butenyl.

Halo includes fluoro, chloro, bromo and iodo. Most commonly it is fluoro, chloro or bromo and usually fluoro or chloro.

Aryl is usually phenyl but also includes naphthyl, anthryl and phenanthryl.

Heteroaryl is typically a 5- or 6-membered aromatic ring containing one or more O, N or S heteroatoms, which may be fused to one or more other aromatic or heteroaromatic rings, such as a benzene ring. Examples are thienyl, furyl, pyrrolyl, isoxazolyl, oxazolyl, oxadiazolyl, pyrazolyl, imidazolyl, triazolyl, isothiazolyl, tetrazolyl, thiadiazolyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, triazinyl, benzofuryl, benzothienyl, dibenzofuryl, benzothiazolyl, benzoxazolyl, benzimidazolyl, indolyl, quinolinyl and quinoxalynyl groups and, where appropriate, N-oxides thereof.

The 6,6-ring systems embraced by the general formula (1) are 1,8-naphthyridines (where W, X and Y are all CR⁸ and Z is N), 1,7-naphthyridines (where W, X and Z are all CR⁸ and Y is N), 1,6-naphthyridines (where W, Y and Z are all CR⁸ and X is N) and 1,5-naphthyridines (where X, Y and Z are all CR⁸ and W is N). Of particular interest are 1,8-naphthyridines.

R⁸ is H, halo (for example bromo), C₁₋₄ alkyl (for example methyl), C₁₋₄ alkoxy (for example methoxy) or halo(C₁₋₄)alkyl (for example trifluoromethyl). Usually R⁸ will be H. However, of particular interest are compounds containing a 3-bromo-1,8-naphthyridine ring (i.e. where W and Y are CH, X is CBr and Z is N).

One of R and R², preferably R², is NR³R⁴. The other is typically halo, especially chloro. In the case of the 1,8-naphthyridine ring system, the more active compounds are those where R² is NR³R⁴. R³ is typically C₁₋₄ alkyl (for example ethyl, *n*-propyl, *n*-butyl, *sec*-butyl (the S- or R-isomer or the racemate) and *tert*-butyl), halo(C₁₋₄)alkyl (for example 2,2,2-trifluoroethyl, 2,2,2-trifluoro-1-methylethyl (the S- or R-isomer or the racemate), 3,3,3-trifluoropropyl and 4,4,4-trifluorobutyl), C₂₋₄ alkenyl (for example allyl and methylallyl), C₃₋₆ cycloalkyl (for example cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl), C₃₋₆ cycloalkyl(C₁₋₄)alkyl (for example cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl

and cyclohexylmethyl) or phenylamino in which the phenyl ring is optionally substituted with one, two or three substituents selected from halo (typically fluoro, chloro or bromo), C₁₋₄ alkyl (typically methyl), halo(C₁₋₄)alkyl (typically trifluoromethyl), C₁₋₄ alkoxy (typically methoxy) and halo(C₁₋₄)alkoxy (typically trifluoromethoxy), and R⁴ is H, C₁₋₄ alkyl (for example ethyl and *n*-propyl) or amino, or R³ and R⁴ together form a C₄₋₆ alkylene chain optionally substituted with methyl, for example 3-methylpentylene, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine ring.

Typically R¹ is phenyl optionally substituted with from one to five halogen atoms, particularly fluorine and chlorine atoms and especially fluorine atoms or with from one to three substituents selected from halo (for example fluoro and chloro), C₁₋₄ alkyl (for example methyl), halo(C₁₋₄)alkyl (for example trifluoromethyl), C₁₋₄ alkoxy (for example methoxy) or halo(C₁₋₄)alkoxy (for example trifluoromethoxy). Examples of R¹ are 2,6-difluorophenyl, 2-fluoro-6-chlorophenyl, 2,5,6-trifluorophenyl, 2,4,6-trifluorophenyl, 2,6-difluoro-4-methoxyphenyl and pentafluorophenyl.

In one aspect the invention provides a compound of the general formula (1) wherein one of W, X, Y and Z is N and the others are CR⁸; R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl; one of R and R² (preferably R²) is NR³R⁴ and the other is halo; R¹ is halo, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, C₁₋₈ alkoxy, C₁₋₈ alkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroaryloxy, heteroarylthio, aryl(C₁₋₄)-alkyl, aryl(C₁₋₄)alkoxy, heteroaryl(C₁₋₄)alkyl, heteroaryl(C₁₋₄)alkoxy, aryl(C₁₋₄)alkylthio, heteroaryl(C₁₋₄)alkylthio, morpholino, piperidino or pyrrolidino; R³ and R⁴ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl, heteroaryl(C₁₋₈)alkyl, NR⁵R⁶, provided that not both R³ and R⁴ are H or NR⁵R⁶, or R³ and R⁴ together form a C₃₋₆ alkylene chain optionally substituted with one or more methyl groups, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine ring; and R⁵ and R⁶ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl or heteroaryl(C₁₋₈)alkyl; any of the alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties being optionally substituted with halogen, cyano, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxy-carbonyl, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylamino or C₁₋₆ dialkylamino, and any of the aryl, heteroaryl, aryloxy or heteroaryl groups being optionally substituted with one or more substituents selected from halo, hydroxy, mercapto, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆

alkynyl, C₁₋₆ alkoxy, C₂₋₆ alkenyloxy, C₂₋₆ alkynyloxy, halo(C₁₋₆)alkyl, halo(C₁₋₆)alkoxy, C₁₋₆ alkylthio, halo(C₁₋₆)alkylthio, hydroxy(C₁₋₆)alkyl, C₁₋₄ alkoxy(C₁₋₆)alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothiocyanato, nitro, -NR^mR^m, -NHCOR^m, -NHCONR^mR^m, -CONR^mR^m, -SO₂R^m, -OSO₂R^m,
 5 -COR^m, -CR^m=NR^m or -N=CR^mR^m, in which R^m and R^m are independently hydrogen, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy, halo(C₁₋₄)alkoxy, C₁₋₄ alkylthio, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenyl or benzyl, the phenyl and benzyl groups being optionally substituted with halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy. Of particular interest are compounds where W, X and Y are CH and Z is N.

10 In another aspect the invention provides a compound of the general formula (1) wherein one of W, X, Y and Z is N and the others are CR⁸; R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl; one of R and R² (preferably R²) is NR³R⁴ and the other is halo; R¹ is halo, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, C₁₋₈ alkoxy, C₁₋₈ alkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroaryloxy, heteroarylthio,
 15 aryl(C₁₋₄)alkyl, aryl(C₁₋₄)alkoxy, heteroaryl(C₁₋₄)alkyl, heteroaryl(C₁₋₄)alkoxy, aryl(C₁₋₄)alkylthio, heteroaryl(C₁₋₄)alkylthio, morpholino, piperidino or pyrrolidino; R³ is C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₂₋₄ alkenyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl or phenylamino in which the phenyl ring is optionally substituted with one, two or three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy and halo(C₁₋₄)alkoxy; and R⁴ is H, C₁₋₄ alkyl or amino, or R³ and R⁴ together form a C₄₋₆ alkylene chain optionally substituted with
 20 methyl, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine ring; any of the alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties being optionally substituted with halogen, cyano, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxy-carbonyl, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylamino or C₁₋₆ dialkylamino, and any of
 25 the aryl or heteroaryl groups or moieties being optionally substituted with one or more substituents selected from halo, hydroxy, mercapto, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₂₋₆ alkenyloxy, C₂₋₆ alkynyloxy, halo(C₁₋₆)alkyl, halo(C₁₋₆)alkoxy, C₁₋₆ alkylthio, halo(C₁₋₆)alkylthio, hydroxy(C₁₋₆)alkyl, C₁₋₄ alkoxy(C₁₋₆)alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, iso-
 30 thiocyanato, nitro, -NR^mR^m, -NHCOR^m, -NHCONR^mR^m, -CONR^mR^m, -SO₂R^m, -OSO₂R^m, -COR^m, -CR^m=NR^m or -N=CR^mR^m, in which R^m and R^m are independently hydrogen, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy, halo(C₁₋₄)alkoxy, C₁₋₄ alkylthio, C₃₋₆ cycloalkyl, C₃₋₆

cycloalkyl(C₁₋₄)alkyl, phenyl or benzyl, the phenyl and benzyl groups being optionally substituted with halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy. Of particular interest are compounds where W, X and Y are CH and Z is N.

In yet another aspect the invention provides a compound of the general formula (1) wherein one of W, X, Y and Z is N and the others are CR⁸; R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl; R and R² are independently H, halo, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, cyano or NR³R⁴, provided that at least one of R and R² (preferably R²) is NR³R⁴; R¹ is optionally substituted phenyl; R³ and R⁴ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl, hetero-
 10 aryl(C₁₋₈)alkyl, NR⁵R⁶, provided that not both R³ and R⁴ are H or NR⁵R⁶, or R³ and R⁴ together form a C₃₋₆ alkylene chain optionally substituted with one or more methyl groups, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine ring; and R⁵ and R⁶ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-
 15 alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl or heteroaryl(C₁₋₈)alkyl; any of the alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties being optionally substituted with halogen, cyano, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxycarbonyl, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylamino or C₁₋₆ dialkylamino, and any of the aryl or heteroaryl groups or moieties, including the phenyl group of R¹, being optionally substituted with one or more
 20 substituents selected from halo, hydroxy, mercapto, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₂₋₆ alkenyloxy, C₂₋₆ alkynyloxy, halo(C₁₋₆)alkyl, halo(C₁₋₆)alkoxy, C₁₋₆ alkylthio, halo(C₁₋₆)alkylthio, hydroxy(C₁₋₆)alkyl, C₁₋₄ alkoxy(C₁₋₆)alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cyclo-
 alkyl(C₁₋₄)alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothio-
 cyanato, nitro, -NR⁷, -NHCOR⁷, -NHCONR⁷R⁷, -CONR⁷R⁷, -SO₂R⁷, -OSO₂R⁷,
 -COR⁷, -CR⁷=NR⁷ or -N=CR⁷R⁷, in which R⁷ and R⁷ are independently hydrogen, C₁₋₄
 25 alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy, halo(C₁₋₄)alkoxy, C₁₋₄ alkylthio, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenyl or benzyl, the phenyl and benzyl groups being optionally substituted with halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy. Of particular interest are compounds where W, X and Y are CH and Z is N.

In still yet another aspect the invention provides a compound of the general formula
 30 (1) wherein one of W, X, Y and Z is N and the others are CR⁸; R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl; R is halo; R¹ is phenyl optionally substituted with from one to five halogen atoms or with from one to three substituents selected from halo, C₁₋₄ alkyl, halo-

- (C₁₋₄)alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkoxy, R² is NR³R⁴; R³ is C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₂₋₄ alkenyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl or phenylamino in which the phenyl ring is optionally substituted with one, two or three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy and halo(C₁₋₄)alkoxy; and R⁴ is H, C₁₋₄ alkyl or amino, or
- 5 R³ and R⁴ together form a C₄₋₆ alkylene chain optionally substituted with methyl, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine ring. Of particular interest are compounds where W, X and Y are CH and Z is N.

Compounds that form part of the invention are illustrated in Tables 1 to 36 below.

- In Table 1 the compounds have the general formula (7), where W, X and Y are CH, Z
- 10 is N, R¹ is 2,4,6-trifluorophenyl and R³ and R⁴ are as shown in the table.

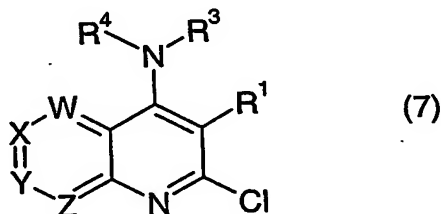


Table 1

Compound No.	R ³	R ⁴
1	C ₂ H ₅	H
2	<i>n</i> -C ₃ H ₇	H
3	<i>i</i> -C ₃ H ₇	H
4	<i>n</i> -C ₄ H ₉	H
5	<i>t</i> -C ₄ H ₉	H
6	CH ₂ =CHCH ₂	H
7	CH ₂ =C(CH ₃)CH ₂	H
8	CF ₃ CH ₂	H
9	CF ₃ CH ₂ CH ₂	H
10	CF ₃ CH ₂ CH ₂ CH ₂	H
11	CF ₃ (CH ₃)CH	H
12	(S)-CF ₃ (CH ₃)CH	H
13	(R)-CF ₃ (CH ₃)CH	H
14	cyclo-C ₃ H ₅	H
15	cyclo-C ₄ H ₇	H

16	cyclo-C ₅ H ₉	H
17	cyclo-C ₆ H ₁₁	H
18	cyclo-C ₃ H ₅ CH ₂	H
19	cyclo-C ₄ H ₇ CH ₂	H
20	-(CH ₂) ₂ O(CH ₂) ₂ -	
21	cyclo-C ₆ H ₁₁ CH ₂	H
22	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -	
23	CH ₃ CH ₂ (CH ₃)CH	H
24	(S)-CH ₃ CH ₂ (CH ₃)CH	H
25	(R)-CH ₃ CH ₂ (CH ₃)CH	H
26	C ₂ H ₅	C ₂ H ₅
27	<i>n</i> -C ₃ H ₇	<i>n</i> -C ₃ H ₇
28	CH ₂ =C(CH ₃)CH ₂	C ₂ H ₅
29	CF ₃ CH ₂	C ₂ H ₅
30	C ₂ H ₅	NH ₂
31	<i>n</i> -C ₃ H ₇	NH ₂
32	<i>i</i> -C ₃ H ₇	NH ₂
33	<i>n</i> -C ₄ H ₉	NH ₂
34	CH ₂ =CHCH ₂	NH ₂
35	CH ₂ =C(CH ₃)CH ₂	NH ₂
36	CF ₂ =CFCH ₂ CH ₂	NH ₂
37	CF ₃ CH ₂	NH ₂
38	CF ₃ CH ₂ CH ₂	NH ₂
39	CF ₃ CH ₂ CH ₂ CH ₂	NH ₂
40	4- <i>t</i> -C ₄ H ₉ -C ₆ H ₄ NH	H
41	4-F-C ₆ H ₄ NH	H
42	C ₆ H ₅ NH	H
43	4-CH ₃ -C ₆ H ₄ NH	H
44	4-Br-C ₆ H ₄ NH	H
45	2-F-C ₆ H ₄ NH	H
46	3,4-Cl ₂ -C ₆ H ₃ NH	H

47	3-CF ₃ -C ₆ H ₄ NH	H
48	3,5-Cl ₂ -C ₆ H ₃ NH	H
49	4-CF ₃ O-C ₆ H ₅ NH	H
50	2-CF ₃ -C ₆ H ₄ NH	H
51	4-CF ₃ -C ₆ H ₄ NH	H
52	2-Br-C ₆ H ₄ NH	H
53	2-Cl-C ₆ H ₄ NH	H
54	2-CH ₃ -4-Cl-C ₆ H ₃ NH	H
55	2-CH ₃ -5-F-C ₆ H ₃ NH	H
56	3-Cl-C ₆ H ₄ NH	H

Table 2

Table 2 consists of 56 compounds of the general formula (7), where W, X and Y are CH and Z is N, R¹ is 2,5,6-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table

- 5 1. Thus, compound 1 of Table 2 is the same as compound 1 of Table 1 except that in compound 1 of Table 2, R¹ is 2,5,6-trifluorophenyl. Similarly, compounds 2 to 56 of Table 2 are the same as compounds 2 to 56 of Table 1 except that in the compounds of Table 2, R¹ is 2,5,6-trifluorophenyl.

Table 3

- 10 Table 3 consists of 56 compounds of the general formula (7), where W, X and Y are CH and Z is N, R¹ is 2,3,4,5,6-pentafluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 3 is the same as compound 1 of Table 1 except that in compound 1 of Table 3, R¹ is 2,3,4,5,6-pentafluorophenyl. Similarly, compounds 2 to 56 of Table 3 are the same as compounds 2 to 56 of Table 1 except that in the compounds of Table
- 15 3, R¹ is 2,3,4,5,6-pentafluorophenyl.

Table 4

- Table 4 consists of 56 compounds of the general formula (7), where W, X and Y are CH and Z is N, R¹ is 2,6-difluoro-4-methoxyphenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 4 is the same as compound 1 of Table 1 except that in
- 20 compound 1 of Table 4, R¹ is 2,6-difluoro-4-methoxyphenyl. Similarly, compounds 2 to 56 of Table 4 are the same as compounds 2 to 56 of Table 1 except that in the compounds of Table 4, R¹ is 2,6-difluoro-4-methoxyphenyl.

Table 5

Table 5 consists of 56 compounds of the general formula (7), where W, X and Y are CH and Z is N, R¹ is 2-fluoro-6-chlorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 5 is the same as compound 1 of Table 1 except that in compound 1 of Table 5, R¹ is 2-fluoro-6-chlorophenyl. Similarly, compounds 2 to 56 of Table 5 are the same as compounds 2 to 56 of Table 1 except that in the compounds of Table 5, R¹ is 2-fluoro-6-chlorophenyl.

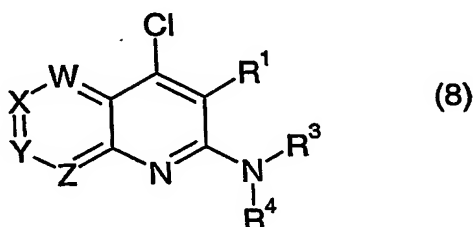
Table 6

Table 6 consists of 56 compounds of the general formula (8), where W, X and Y are CH and Z is N, R¹ is 2,4,6-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 6 is the same as compound 1 of Table 1 except that in compound 1 of Table 6, the compound has the general formula (8). Similarly, compounds 2 to 56 of Table 6 are the same as compounds 2 to 56 of Table 1 except that in the compounds of Table 6, the compounds have the general formula (8).

Table 7

Table 7 consists of 56 compounds of the general formula (8), where W, X and Y are CH and Z is N, R¹ is 2,4,5-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 7 is the same as compound 1 of Table 2 except that in compound 1 of Table 7, the compound has the general formula (8). Similarly, compounds 2 to 56 of Table 7 are the same as compounds 2 to 56 of Table 2 except that in the compounds of Table 7, the compounds have the general formula (8).

Table 8

Table 8 consists of 56 compounds of the general formula (8), where W, X and Y are CH and Z is N, R¹ is 2,3,4,5,6-pentafluorophenyl, and the values of R³ and R⁴ are as listed in Table 3. Thus, compound 1 of Table 8 is the same as compound 1 of Table 3 except that in compound 1 of Table 8, the compound has the general formula (8). Similarly, compounds 2 to 56 of Table 8 are the same as compounds 2 to 56 of Table 3 except that in the compounds of Table 8, the compounds have the general formula (8).

Table 9

Table 9 consists of 56 compounds of the general formula (8), where W, X and Y are CH and Z is N, R¹ is 2,6-difluoro-4-methoxyphenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 9 is the same as compound 1 of Table 4 except that in
5 compound 1 of Table 9, the compound has the general formula (8). Similarly, compounds 2 to 56 of Table 9 are the same as compounds 2 to 56 of Table 4 except that in the compounds of Table 9, the compounds have the general formula (8).

Table 10

Table 10 consists of 56 compounds of the general formula (8), where W, X and Y are
10 CH and Z is N, R¹ is 2-fluoro-6-chlorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 10 is the same as compound 1 of Table 5 except that in compound 1 of Table 10, the compound has the general formula (8). Similarly, compounds 2 to 56 of Table 10 are the same as compounds 2 to 56 of Table 5 except that in the compounds of Table 10, the compounds have the general formula (8).

Table 11

Table 11 consists of 56 compounds of the general formula (7), where W is N and X, Y and Z are CH, R¹ is 2,4,6-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 11 is the same as compound 1 of Table 1 except that in
20 compound 1 of Table 11, the compound has the general formula (7) where W is N and X, Y and Z are CH. Similarly, compounds 2 to 56 of Table 11 are the same as compounds 2 to 56 of Table 1 except that in the compounds of Table 11, the compounds have the general formula (7) where W is N and X, Y and Z are CH.

Table 12

Table 12 consists of 56 compounds of the general formula (7), where W is N and X, Y and Z are CH, R¹ is 2,5,6-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 12 is the same as compound 1 of Table 2 except that in
25 compound 1 of Table 12, the compound has the general formula (7) where W is N and X, Y and Z are CH. Similarly, compounds 2 to 56 of Table 12 are the same as compounds 2 to 56 of Table 2 except that in the compounds of Table 12, the compounds have the general
30 formula (7) where W is N and X, Y and Z are CH.

Table 13

Table 13 consists of 56 compounds of the general formula (7), where W is N and X, Y and Z are CH, R¹ is 2,3,4,5,6-pentafluorophenyl, and the values of R³ and R⁴ are as listed

in Table 1. Thus, compound 1 of Table 13 is the same as compound 1 of Table 3 except that in compound 1 of Table 13, the compound has the general formula (7) where W is N and X, Y and Z are CH. Similarly, compounds 2 to 56 of Table 13 are the same as compounds 2 to 56 of Table 3 except that in the compounds of Table 13, the compounds have the general formula (7) where W is N and X, Y and Z are CH.

Table 14

Table 14 consists of 56 compounds of the general formula (7), where W is N and X, Y and Z are CH, R¹ is 2,6-difluoro-4-methoxyphenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 14 is the same as compound 1 of Table 4 except that in compound 1 of Table 14, the compound has the general formula (7) where W is N and X, Y and Z are CH. Similarly, compounds 2 to 56 of Table 14 are the same as compounds 2 to 56 of Table 4 except that in the compounds of Table 14, the compounds have the general formula (7) where W is N and X, Y and Z are CH.

Table 15

Table 15 consists of 56 compounds of the general formula (7), where W is N and X, Y and Z are CH, R¹ is 2-fluoro-6-chlorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 15 is the same as compound 1 of Table 5 except that in compound 1 of Table 15, the compound has the general formula (7) where W is N and X, Y and Z are CH. Similarly, compounds 2 to 56 of Table 15 are the same as compounds 2 to 56 of Table 5 except that in the compounds of Table 15, the compounds have the general formula (7) where W is N and X, Y and Z are CH.

Table 16

Table 16 consists of 56 compounds of the general formula (8), where W is N and X, Y and Z are CH, R¹ is 2,4,6-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 16 is the same as compound 1 of Table 11 except that in compound 1 of Table 16, the compound has the general formula (8). Similarly, compounds 2 to 56 of Table 16 are the same as compounds 2 to 56 of Table 11 except that in the compounds of Table 16, the compounds have the general formula (8).

Table 17

Table 17 consists of 56 compounds of the general formula (8), where W is N and X, Y and Z are CH, R¹ is 2,4,5-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 17 is the same as compound 1 of Table 12 except that in

compound 1 of Table 17, the compound has the general formula (8). Similarly, compounds 2 to 56 of Table 17 are the same as compounds 2 to 56 of Table 12 except that in the compounds of Table 17, the compounds have the general formula (8).

Table 18

5 Table 18 consists of 56 compounds of the general formula (8), where W is N and X, Y and Z are CH, R¹ is 2,3,4,5,6-pentafluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 18 is the same as compound 1 of Table 13 except that in compound 1 of Table 18, the compound has the general formula (8). Similarly, compounds 2 to 56 of Table 18 are the same as compounds 2 to 56 of Table 13 except that in the
10 compounds of Table 18, the compounds have the general formula (8).

Table 19

Table 19 consists of 56 compounds of the general formula (8), where W is N and X, Y and Z are CH, R¹ is 2,6-difluoro-4-methoxyphenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 19 is the same as compound 1 of Table 14
15 except that in compound 1 of Table 19, the compound has the general formula (8). Similarly, compounds 2 to 56 of Table 19 are the same as compounds 2 to 56 of Table 14 except that in the compounds of Table 19, the compounds have the general formula (8).

Table 20

Table 20 consists of 56 compounds of the general formula (8), where W is N and X, Y and Z are CH, R¹ is 2-fluoro-6-chlorophenyl, and the values of R³ and R⁴ are as listed in
20 Table 1. Thus, compound 1 of Table 20 is the same as compound 1 of Table 15 except that in compound 1 of Table 20, the compound has the general formula (8). Similarly, compounds 2 to 56 of Table 20 are the same as compounds 2 to 56 of Table 15 except that in the compounds of Table 20, the compounds have the general formula (8).

25 Table 21

Table 21 consists of 56 compounds of the general formula (7), where W, X and Z are CH and Y is N, R¹ is 2,4,6-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 21 is the same as compound 1 of Table 1 except that in
30 compound 1 of Table 21, the compound has the general formula (7) where W, X and Z are CH and Y is N. Similarly, compounds 2 to 56 of Table 21 are the same as compounds 2 to 56 of Table 1 except that in the compounds of Table 21, the compounds have the general formula (7) where W, X and Z are CH and Y is N.

Table 22

Table 22 consists of 56 compounds of the general formula (7), where W, X and Z are CH and Y is N, R¹ is 2,5,6-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 22 is the same as compound 1 of Table 2 except that in
5 compound 1 of Table 22, the compound has the general formula (7) where W, X and Z are CH and Y is N. Similarly, compounds 2 to 56 of Table 22 are the same as compounds 2 to 56 of Table 2 except that in the compounds of Table 22, the compounds have the general formula (7) where W, X and Z are CH and Y is N.

Table 23

10 Table 23 consists of 56 compounds of the general formula (7), where W, X and Z are CH and Y is N, R¹ is 2,3,4,5,6-pentafluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 23 is the same as compound 1 of Table 3 except that in compound 1 of Table 23, the compound has the general formula (7) where W, X and Z are CH and Y is N. Similarly, compounds 2 to 56 of Table 23 are the same as compounds 2 to 56
15 of Table 3 except that in the compounds of Table 23, the compounds have the general formula (7) where W, X and Z are CH and Y is N.

Table 24

Table 24 consists of 56 compounds of the general formula (7), where W, X and Z are CH and Y is N, R¹ is 2,6-difluoro-4-methoxyphenyl, and the values of R³ and R⁴ are as listed
20 in Table 1. Thus, compound 1 of Table 24 is the same as compound 1 of Table 4 except that in compound 1 of Table 24, the compound has the general formula (7) where W, X and Z are CH and Y is N. Similarly, compounds 2 to 56 of Table 24 are the same as compounds 2 to 56 of Table 4 except that in the compounds of Table 24, the compounds have the general formula (7) where W, X and Z are CH and Y is N.

Table 25

25 Table 25 consists of 56 compounds of the general formula (7), where W, X and Z are CH and Y is N, R¹ is 2-fluoro-6-chlorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 25 is the same as compound 1 of Table 5 except that in compound 1 of Table 25, the compound has the general formula (7) where W, X and Z are
30 CH and Y is N. Similarly, compounds 2 to 56 of Table 25 are the same as compounds 2 to 56 of Table 5 except that in the compounds of Table 25, the compounds have the general formula (7) where W, X and Z are CH and Y is N.

Table 26

Table 26 consists of 56 compounds of the general formula (7), where W, Y and Z are CH and X is N, R¹ is 2,4,6-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 26 is the same as compound 1 of Table 1 except that in compound 1 of Table 26, the compound has the general formula (7) where W, Y and Z are CH and X is N. Similarly, compounds 2 to 56 of Table 26 are the same as compounds 2 to 56 of Table 1 except that in the compounds of Table 26, the compounds have the general formula (7) where W, Y and Z are CH and X is N.

Table 27

Table 27 consists of 56 compounds of the general formula (7), where W, Y and Z are CH and X is N, R¹ is 2,5,6-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 27 is the same as compound 1 of Table 2 except that in compound 1 of Table 27, the compound has the general formula (7) where W, Y and Z are CH and X is N. Similarly, compounds 2 to 56 of Table 27 are the same as compounds 2 to 56 of Table 2 except that in the compounds of Table 27, the compounds have the general formula (7) where W, Y and Z are CH and X is N.

Table 28

Table 28 consists of 56 compounds of the general formula (7), where W, Y and Z are CH and X is N, R¹ is 2,3,4,5,6-pentafluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 28 is the same as compound 1 of Table 3 except that in compound 1 of Table 28, the compound has the general formula (7) where W, Y and Z are CH and X is N. Similarly, compounds 2 to 56 of Table 28 are the same as compounds 2 to 56 of Table 3 except that in the compounds of Table 28, the compounds have the general formula (7) where W, Y and Z are CH and X is N.

Table 29

Table 29 consists of 56 compounds of the general formula (7), where W, Y and Z are CH and X is N, R¹ is 2,6-difluoro-4-methoxyphenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 29 is the same as compound 1 of Table 4 except that in compound 1 of Table 29, the compound has the general formula (7) where W, Y and Z are CH and X is N. Similarly, compounds 2 to 56 of Table 29 are the same as compounds 2 to 56 of Table 4 except that in the compounds of Table 29, the compounds have the general formula (7) where W, Y and Z are CH and X is N.

Table 30

Table 30 consists of 56 compounds of the general formula (7), where W, Y and Z are CH and X is N, R¹ is 2-fluoro-6-chlorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 30 is the same as compound 1 of Table 5 except that in compound 1 of Table 30, the compound has the general formula (7) where W, Y and Z are CH and X is N. Similarly, compounds 2 to 56 of Table 30 are the same as compounds 2 to 56 of Table 5 except that in the compounds of Table 30, the compounds have the general formula (7) where W, Y and Z are CH and X is N.

Table 31

Table 31 consists of 56 compounds of the general formula (7), where W and Y are CH, X is CBr and Z is N, R¹ is 2,4,6-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 31 is the same as compound 1 of Table 1 except that in compound 1 of Table 31, the compound has the general formula (7) where W and Y are CH, X is CBr and Z is N. Similarly, compounds 2 to 56 of Table 31 are the same as compounds 2 to 56 of Table 1 except that in the compounds of Table 31, the compounds have the general formula (7) where W and Y are CH, X is CBr and Z is N.

Table 32

Table 32 consists of 56 compounds of the general formula (7), where W and Y are CH, X is CBr and Z is N, R¹ is 2,5,6-trifluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 32 is the same as compound 1 of Table 2 except that in compound 1 of Table 32, the compound has the general formula (7) where W and Y are CH, X is CBr and Z is N. Similarly, compounds 2 to 56 of Table 32 are the same as compounds 2 to 56 of Table 2 except that in the compounds of Table 32, the compounds have the general formula (7) where W and Y are CH, X is CBr and Z is N.

Table 33

Table 33 consists of 56 compounds of the general formula (7), where W and Y are CH, X is CBr and Z is N, R¹ is 2,3,4,5,6-pentafluorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 33 is the same as compound 1 of Table 3 except that in compound 1 of Table 33, the compound has the general formula (7) where W and Y are CH, X is CBr and Z is N. Similarly, compounds 2 to 56 of Table 33 are the same as compounds 2 to 56 of Table 3 except that in the compounds of Table 33, the compounds have the general formula (7) where W and Y are CH, X is CBr and Z is N.

Table 34

Table 34 consists of 56 compounds of the general formula (7), where W and Y are CH, X is CBr and Z is N, R¹ is 2,6-difluoro-4-methoxyphenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 34 is the same as compound 1 of Table 4 except that in compound 1 of Table 34, the compound has the general formula (7) where W and Y are CH, X is CBr and Z is N. Similarly, compounds 2 to 56 of Table 34 are the same as compounds 2 to 56 of Table 4 except that in the compounds of Table 34, the compounds have the general formula (7) where W and Y are CH, X is CBr and Z is N.

Table 35

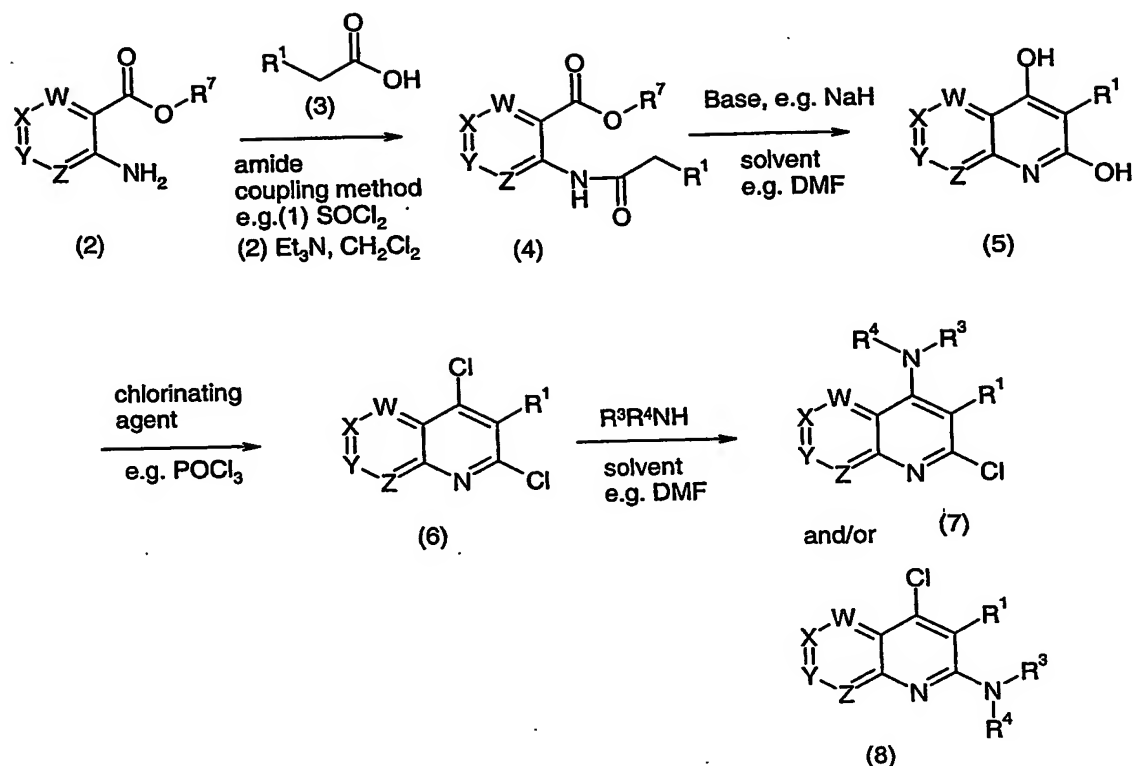
Table 35 consists of 56 compounds of the general formula (7), where W and Y are CH, X is CBr and Z is N, R¹ is 2-fluoro-6-chlorophenyl, and the values of R³ and R⁴ are as listed in Table 1. Thus, compound 1 of Table 35 is the same as compound 1 of Table 5 except that in compound 1 of Table 35, the compound has the general formula (7) where W and Y are CH, X is CBr and Z is N. Similarly, compounds 2 to 56 of Table 35 are the same as compounds 2 to 56 of Table 5 except that in the compounds of Table 35, the compounds have the general formula (7) where W and Y are CH, X is CBr and Z is N.

Table 36

Table 36 consists of 392 compounds. Compounds 1 to 56 are exactly the same as compounds 1 to 56 of Table 5 respectively, compounds 57 to 112 are exactly the same as compounds 1 to 56 of Table 10 respectively, compounds 113 to 168 are exactly the same as compounds 1 to 56 of Table 15 respectively, compounds 169 to 224 are exactly the same as compounds 1 to 56 of Table 20 respectively, compounds 225 to 280 are exactly the same as compounds 1 to 56 of Table 25 respectively, compounds 281 to 336 are exactly the same as compounds 1 to 56 of Table 30 respectively, compounds 337 to 392 are exactly the same as compounds 1 to 56 of Table 35 respectively, except that in all of the compounds of Table 36 R¹ is 2,6-difluorophenyl instead of 2-fluoro-6-chlorophenyl.

Compounds of formula (7) or (8), which are examples of compounds of general formula (1) where one of R and R² is NR³R⁴, can be made as shown in Scheme 1, in which W, X, Y, Z, R¹, R³ and R⁴ have the meanings given above and R⁷ is C₁₋₄ alkyl.

Scheme 1



Compounds of general formula (4) can be prepared from compounds of general formula (2), which are either commercially available or made by methods known in the literature, by reaction with acids of general formula (3), using standard coupling methods, for example by conversion to the acid chloride using a chlorinating agent such as thionyl chloride, followed by reaction of the resultant acid chloride optionally in the presence of a base such as triethylamine, in a suitable solvent such as dichloromethane or toluene. Compounds of general formula (5) can be prepared by treating compounds of general formula (4) with a base such as sodium hydride, optionally in the presence of a Lewis acid such as magnesium oxide, in a suitable solvent such as *N,N*-dimethylformamide (DMF) or toluene, at between room temperature and 150°C, but preferably at 60-90°C. Compounds of general formula (6) can be prepared by reaction of compounds of general formula (5) with a chlorination reagent such as phosphorus oxychloride, either neat or in a suitable solvent such as toluene, at between 50 and 150°C, but preferably between 80 and 110°C, or in a microwave reactor at between 150 and 300°C, but preferably between 200 and 250°C. Compounds of formula (7) and (8) can be prepared by reaction of compounds of general formula (6) with an amine R³R⁴NH, either neat, or in a suitable solvent such as DMF,

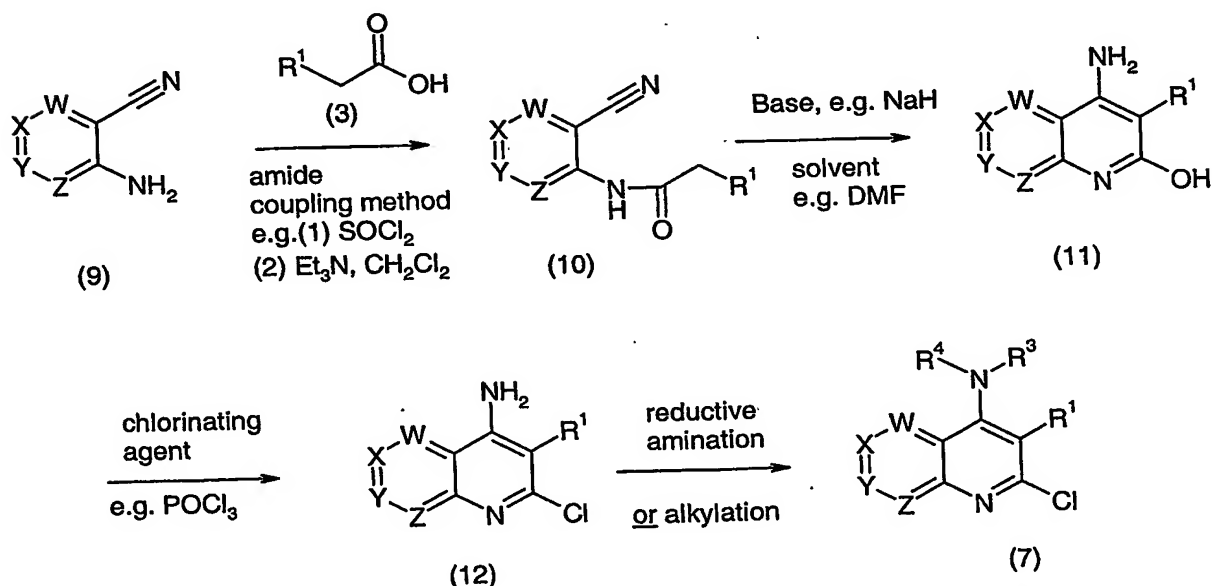
between room temperature and 150°C, but preferably between 50 and 80°C. If compounds (7) and (8) are produced as a mixture they can be separated by suitable means such as crystallisation or chromatography under normal or reverse phase conditions.

Compounds of the general formulae (5), (6), (7) and (8) may be derivatised, via the chloro or hydroxy substituents, using routine chemical techniques to form other compounds of the general formula (1). Alternatively, other compounds of the general formula (1) may be prepared using a similar methodology to that described for preparing the compounds (5) to (8) and employing preparative techniques known from the chemical literature.

Compounds of formula (7) can also be made as shown in scheme 2.

10

Scheme 2



Compounds of general formula (10) can be prepared from compounds of general formula (9), which are either commercially available or made by methods known in the literature, by reaction with acids of general formula (3), using standard coupling methods, for example by conversion to the acid chloride using a chlorinating agent such as thionyl chloride, followed by reaction of the resultant acid chloride optionally in the presence of a base such as triethylamine, in a suitable solvent such as dichloromethane or toluene. Compounds of general formula (11) can be prepared by treating compounds of general formula (10) with a base such as sodium hydride, optionally in the presence of a Lewis acid such as magnesium oxide, in a suitable solvent such as *N,N*-dimethylformamide (DMF) or

toluene, at between room temperature and 150°C, but preferably at 60-90°C. Compounds of general formula (12) can be prepared by reaction of compounds of general formula (11) with a chlorination reagent such as phosphorus oxychloride, either neat or in a suitable solvent such as toluene, at between 50 and 150°C, but preferably between 80 and 110°C, or in a microwave reactor at between 150 and 300°C, but preferably between 200 and 250°C.

Compounds of formula (7) can be prepared from compounds of formula (12) by reductive amination, for example by reaction with a ketone or aldehyde in a suitable solvent such as ethanol or toluene, at between room temperature and reflux, optionally in the presence of an acid catalyst such as para-toluenesulphonic acid or a drying agent such as molecular sieves, followed by treatment with a suitable reducing agent such as sodium borohydride, at between -20°C and 40°C, but preferably at room temperature. The aldehyde or ketone is chosen so that the desired groups R³ and R⁴ are formed after reduction of the product of reaction with the amine (12). For example if compounds of formula (12) are reacted with one equivalent of propionaldehyde and then sodium borohydride, compounds of formula (7) where R³ is n-propyl, and R⁴ is hydrogen are formed. If required, the reaction can be repeated with a different aldehyde or ketone. For example, if acetone is used for the second reaction, then compounds of formula (7) where R³ is n-propyl and R⁴ is iso-propyl, are formed.

Alternatively compounds of formula (7) can be formed from compounds of formula (12) by alkylation with a group R³LG, by treatment with a suitable base such as sodium hydride in a solvent such as DMF, or a base such as potassium carbonate in a solvent such as acetone or DMF, at between -78°C and 100°C, but preferably between room temperature and 60°C, followed by treatment with R⁴LG in a second step under the same conditions if required.

Further assistance in the preparation of the compounds of formula (1) may be derived from the following publications: Emilio, Toja, *et. al.*, *J. Heterocyclic Chem.*, 23, 1955 (1986), H. Schäfer, *et. al.*, *J. f. prakt. Chemie*, 321(4), 695 (1970) and H. Bredereck *et. al.*, *Chem. Ber.* 96, 1868-1872 (1993).

The intermediate chemicals having the general formulae (4), (5) and (6) are believed to be novel and form a further part of this invention.

The compounds of formula (1) are active fungicides and may be used to control one or more of the following pathogens: *Pyricularia oryzae* (*Magnaporthe grisea*) on rice and wheat and other *Pyricularia* spp. on other hosts; *Puccinia triticina* (or *recondita*), *Puccinia striiformis* and other rusts on wheat, *Puccinia hordei*, *Puccinia striiformis* and other rusts on

- barley, and rusts on other hosts (for example turf, rye, coffee, pears, apples, peanuts, sugar beet, vegetables and ornamental plants); *Erysiphe cichoracearum* on cucurbits (for example melon); *Blumeria* (or *Erysiphe*) *graminis* (powdery mildew) on barley, wheat, rye and turf and other powdery mildews on various hosts, such as *Sphaerotheca macularis* on hops,
- 5 *Sphaerotheca fusca* (*Sphaerotheca fuliginea*) on cucurbits (for example cucumber), *Leveillula taurica* on tomatoes, aubergine and green pepper, *Podosphaera leucotricha* on apples and *Uncinula necator* on vines; *Cochliobolus* spp., *Helminthosporium* spp., *Drechslera* spp. (*Pyrenophora* spp.), *Rhynchosporium* spp., *Mycosphaerella graminicola* (*Septoria tritici*) and *Phaeosphaeria nodorum* (*Stagonospora nodorum* or *Septoria*
- 10 *nodorum*), *Pseudocercospora herpotrichoides* and *Gaeumannomyces graminis* on cereals (for example wheat, barley, rye), turf and other hosts; *Cercospora arachidicola* and *Cercosporidium personatum* on peanuts and other *Cercospora* spp. on other hosts, for example sugar beet, bananas, soya beans and rice; *Botrytis cinerea* (grey mould) on tomatoes, strawberries, vegetables, vines and other hosts and other *Botrytis* spp. on other hosts;
- 15 *Alternaria* spp. on vegetables (for example carrots), oil-seed rape, apples, tomatoes, potatoes, cereals (for example wheat) and other hosts; *Venturia* spp. (including *Venturia inaequalis* (scab)) on apples, pears, stone fruit, tree nuts and other hosts; *Cladosporium* spp. on a range of hosts including cereals (for example wheat) and tomatoes; *Monilinia* spp. on stone fruit, tree nuts and other hosts; *Didymella* spp. on tomatoes, turf, wheat, cucurbits and other hosts;
- 20 *Phoma* spp. on oil-seed rape, turf, rice, potatoes, wheat and other hosts; *Aspergillus* spp. and *Aureobasidium* spp. on wheat, lumber and other hosts; *Ascochyta* spp. on peas, wheat, barley and other hosts; *Stemphylium* spp. (*Pleospora* spp.) on apples, pears, onions and other hosts; summer diseases (for example bitter rot (*Glomerella cingulata*), black rot or frog-eye leaf spot (*Botryosphaeria obtusa*), Brooks fruit spot (*Mycosphaerella pomi*), Cedar apple rust
- 25 (*Gymnosporangium juniperi-virginianae*), sooty blotch (*Gloeodes pomigena*), flyspeck (*Schizothyrium pomi*) and white rot (*Botryosphaeria dothidea*)) on apples and pears; *Plasmopara viticola* on vines; other downy mildews, such as *Bremia lactucae* on lettuce, *Peronospora* spp. on soybeans, tobacco, onions and other hosts, *Pseudoperonospora humuli* on hops and *Pseudoperonospora cubensis* on cucurbits; *Pythium* spp. (including *Pythium*
- 30 *ultimum*) on turf and other hosts; *Phytophthora infestans* on potatoes and tomatoes and other *Phytophthora* spp. on vegetables, strawberries, avocado, pepper, ornamentals, tobacco, cocoa and other hosts; *Thanatephorus cucumeris* on rice and turf and other *Rhizoctonia* spp.

on various hosts such as wheat and barley, peanuts, vegetables, cotton and turf; *Sclerotinia* spp. on turf, peanuts, potatoes, oil-seed rape and other hosts; *Sclerotium* spp. on turf, peanuts and other hosts; *Gibberella fujikuroi* on rice; *Colletotrichum* spp. on a range of hosts including turf, coffee and vegetables; *Laetisaria fuciformis* on turf; *Mycosphaerella* spp. on bananas, peanuts, citrus, pecans, papaya and other hosts; *Diaporthe* spp. on citrus, soybean, melon, pears, lupin and other hosts; *Elsinoe* spp. on citrus, vines, olives, pecans, roses and other hosts; *Verticillium* spp. on a range of hosts including hops, potatoes and tomatoes; *Pyrenopeziza* spp. on oil-seed rape and other hosts; *Oncobasidium theobromae* on cocoa causing vascular streak dieback; *Fusarium* spp., *Typhula* spp., *Microdochium nivale*, *Ustilago* spp., *Urocystis* spp., *Tilletia* spp. and *Claviceps purpurea* on a variety of hosts but particularly wheat, barley, turf and maize; *Ramularia* spp. on sugar beet, barley and other hosts; post-harvest diseases particularly of fruit (for example *Penicillium digitatum*, *Penicillium italicum* and *Trichoderma viride* on oranges, *Colletotrichum musae* and *Gloeosporium musarum* on bananas and *Botrytis cinerea* on grapes); other pathogens on vines, notably *Eutypa lata*, *Guignardia bidwellii*, *Phellinus igniarius*, *Phomopsis viticola*, *Pseudopeziza tracheiphila* and *Stereum hirsutum*; other pathogens on trees (for example *Lophodermium seditiosum*) or lumber, notably *Cephaloascus fragrans*, *Ceratocystis* spp., *Ophiostoma piceae*, *Penicillium* spp., *Trichoderma pseudokoningii*, *Trichoderma viride*, *Trichoderma harzianum*, *Aspergillus niger*, *Leptographium lindbergi* and *Aureobasidium pullulans*; and fungal vectors of viral diseases (for example *Polymyxa graminis* on cereals as the vector of barley yellow mosaic virus (BYMV) and *Polymyxa betae* on sugar beet as the vector of rhizomania).

A compound of formula (1) may move acropetally, basipetally or locally in plant tissue to be active against one or more fungi. Moreover, a compound of formula (1) may be volatile enough to be active in the vapour phase against one or more fungi on the plant.

The invention therefore provides a method of combating or controlling phytopathogenic fungi which comprises applying a fungicidally effective amount of a compound of formula (1), or a composition containing a compound of formula (1), to a plant, to a seed of a plant, to the locus of the plant or seed or to soil or any other plant growth medium, e.g. nutrient solution.

The term "plant" as used herein includes seedlings, bushes and trees. Furthermore, the fungicidal method of the invention includes protectant, curative, systemic, eradicator and antispore treatments.

The compounds of formula (1) are preferably used for agricultural, horticultural and turfgrass purposes in the form of a composition.

In order to apply a compound of formula (1) to a plant, to a seed of a plant, to the locus of the plant or seed or to soil or any other growth medium, a compound of formula (1) is usually formulated into a composition which includes, in addition to the compound of formula (1), a suitable inert diluent or carrier and, optionally, a surface active agent (SFA). SFAs are chemicals that are able to modify the properties of an interface (for example, liquid/solid, liquid/air or liquid/liquid interfaces) by lowering the interfacial tension and thereby leading to changes in other properties (for example dispersion, emulsification and wetting). It is preferred that all compositions (both solid and liquid formulations) comprise, by weight, 0.0001 to 95%, more preferably 1 to 85%, for example 5 to 60%, of a compound of formula (1). The composition is generally used for the control of fungi such that a compound of formula (1) is applied at a rate of from 0.1g to 10kg per hectare, preferably from 1g to 6kg per hectare, more preferably from 1g to 1kg per hectare.

When used in a seed dressing, a compound of formula (1) is used at a rate of 0.0001g to 10g (for example 0.001g or 0.05g), preferably 0.005g to 10g, more preferably 0.005g to 4g, per kilogram of seed.

In another aspect the present invention provides a fungicidal composition comprising a fungicidally effective amount of a compound of formula (1) and a suitable carrier or diluent therefor.

In a still further aspect the invention provides a method of combating and controlling fungi at a locus, which comprises treating the fungi, or the locus of the fungi with a fungicidally effective amount of a composition comprising a compound of formula (1).

The compositions can be chosen from a number of formulation types, including dustable powders (DP), soluble powders (SP), water soluble granules (SG), water dispersible granules (WG), wettable powders (WP), granules (GR) (slow or fast release), soluble concentrates (SL), oil miscible liquids (OL), ultra low volume liquids (UL), emulsifiable concentrates (EC), dispersible concentrates (DC), emulsions (both oil in water (EW) and water in oil (EO)), micro-emulsions (ME), suspension concentrates (SC), aerosols,

fogging/smoke formulations, capsule suspensions (CS) and seed treatment formulations. The formulation type chosen in any instance will depend upon the particular purpose envisaged and the physical, chemical and biological properties of the compound of formula (1).

5 Dustable powders (DP) may be prepared by mixing a compound of formula (1) with one or more solid diluents (for example natural clays, kaolin, pyrophyllite, bentonite, alumina, montmorillonite, kieselguhr, chalk, diatomaceous earths, calcium phosphates, calcium and magnesium carbonates, sulphur, lime, flours, talc and other organic and inorganic solid carriers) and mechanically grinding the mixture to a fine powder.

10 Soluble powders (SP) may be prepared by mixing a compound of formula (1) with one or more water-soluble inorganic salts (such as sodium bicarbonate, sodium carbonate or magnesium sulphate) or one or more water-soluble organic solids (such as a polysaccharide) and, optionally, one or more wetting agents, one or more dispersing agents or a mixture of said agents to improve water dispersibility/solubility. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water soluble granules (SG).

15 Wettable powders (WP) may be prepared by mixing a compound of formula (1) with one or more solid diluents or carriers, one or more wetting agents and, preferably, one or more dispersing agents and, optionally, one or more suspending agents to facilitate the dispersion in liquids. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water dispersible granules (WG).

20 Granules (GR) may be formed either by granulating a mixture of a compound of formula (1) and one or more powdered solid diluents or carriers, or from pre-formed blank granules by absorbing a compound of formula (1) (or a solution thereof, in a suitable agent) in a porous granular material (such as pumice, attapulgate clays, fuller's earth, kieselguhr, diatomaceous earths or ground corn cobs) or by adsorbing a compound of formula (1) (or a
25 solution thereof, in a suitable agent) on to a hard core material (such as sands, silicates, mineral carbonates, sulphates or phosphates) and drying if necessary. Agents which are commonly used to aid absorption or adsorption include solvents (such as aliphatic and aromatic petroleum solvents, alcohols, ethers, ketones and esters) and sticking agents (such as polyvinyl acetates, polyvinyl alcohols, dextrans, sugars and vegetable oils). One or more
30 other additives may also be included in granules (for example an emulsifying agent, wetting agent or dispersing agent).

Dispersible Concentrates (DC) may be prepared by dissolving a compound of formula (1) in water or an organic solvent, such as a ketone, alcohol or glycol ether. These solutions may contain a surface active agent (for example to improve water dilution or prevent crystallisation in a spray tank).

5 Emulsifiable concentrates (EC) or oil-in-water emulsions (EW) may be prepared by dissolving a compound of formula (1) in an organic solvent (optionally containing one or more wetting agents, one or more emulsifying agents or a mixture of said agents). Suitable organic solvents for use in ECs include aromatic hydrocarbons (such as alkylbenzenes or alkylnaphthalenes, exemplified by SOLVESSO 100, SOLVESSO 150 and SOLVESSO 200;
10 SOLVESSO is a Registered Trade Mark), ketones (such as cyclohexanone or methylcyclohexanone), alcohols (such as benzyl alcohol, furfuryl alcohol or butanol), *N*-alkylpyrrolidones (such as *N*-methylpyrrolidone or *N*-octylpyrrolidone), dimethyl amides of fatty acids (such as C₈-C₁₀ fatty acid dimethylamide) and chlorinated hydrocarbons. An EC product may spontaneously emulsify on addition to water, to produce an emulsion with
15 sufficient stability to allow spray application through appropriate equipment. Preparation of an EW involves obtaining a compound of formula (1) either as a liquid (if it is not a liquid at room temperature, it may be melted at a reasonable temperature, typically below 70°C) or in solution (by dissolving it in an appropriate solvent) and then emulsifying the resultant liquid or solution into water containing one or more SFAs, under high shear, to produce an
20 emulsion. Suitable solvents for use in EWs include vegetable oils, chlorinated hydrocarbons (such as chlorobenzenes), aromatic solvents (such as alkylbenzenes or alkylnaphthalenes) and other appropriate organic solvents that have a low solubility in water.

Microemulsions (ME) may be prepared by mixing water with a blend of one or more solvents with one or more SFAs, to produce spontaneously a thermodynamically stable
25 isotropic liquid formulation. A compound of formula (1) is present initially in either the water or the solvent/SFA blend. Suitable solvents for use in MEs include those hereinbefore described for use in ECs or in EWs. An ME may be either an oil-in-water or a water-in-oil system (which system is present may be determined by conductivity measurements) and may be suitable for mixing water-soluble and oil-soluble pesticides in the same formulation. An
30 ME is suitable for dilution into water, either remaining as a microemulsion or forming a conventional oil-in-water emulsion.

Suspension concentrates (SC) may comprise aqueous or non-aqueous suspensions of finely divided insoluble solid particles of a compound of formula (1). SCs may be prepared by ball or bead milling the solid compound of formula (1) in a suitable medium, optionally with one or more dispersing agents, to produce a fine particle suspension of the compound.

5 One or more wetting agents may be included in the composition and a suspending agent may be included to reduce the rate at which the particles settle. Alternatively, a compound of formula (1) may be dry milled and added to water, containing agents hereinbefore described, to produce the desired end product.

Aerosol formulations comprise a compound of formula (1) and a suitable propellant
10 (for example *n*-butane). A compound of formula (1) may also be dissolved or dispersed in a suitable medium (for example water or a water miscible liquid, such as *n*-propanol) to provide compositions for use in non-pressurised, hand-actuated spray pumps.

A compound of formula (1) may be mixed in the dry state with a pyrotechnic mixture to form a composition suitable for generating, in an enclosed space, a smoke containing the
15 compound.

Capsule suspensions (CS) may be prepared in a manner similar to the preparation of EW formulations but with an additional polymerisation stage such that an aqueous dispersion of oil droplets is obtained, in which each oil droplet is encapsulated by a polymeric shell and contains a compound of formula (1) and, optionally, a carrier or diluent therefor. The
20 polymeric shell may be produced by either an interfacial polycondensation reaction or by a coacervation procedure. The compositions may provide for controlled release of the compound of formula (1) and they may be used for seed treatment. A compound of formula (1) may also be formulated in a biodegradable polymeric matrix to provide a slow, controlled release of the compound.

25 A composition may include one or more additives to improve the biological performance of the composition (for example by improving wetting, retention or distribution on surfaces; resistance to rain on treated surfaces; or uptake or mobility of a compound of formula (1)). Such additives include surface active agents, spray additives based on oils, for example certain mineral oils or natural plant oils (such as soy bean and rape seed oil), and
30 blends of these with other bio-enhancing adjuvants (ingredients which may aid or modify the action of a compound of formula (1)).

A compound of formula (1) may also be formulated for use as a seed treatment, for example as a powder composition, including a powder for dry seed treatment (DS), a water soluble powder (SS) or a water dispersible powder for slurry treatment (WS), or as a liquid composition, including a flowable concentrate (FS), a solution (LS) or a capsule suspension (CS). The preparations of DS, SS, WS, FS and LS compositions are very similar to those of, respectively, DP, SP, WP, SC and DC compositions described above. Compositions for treating seed may include an agent for assisting the adhesion of the composition to the seed (for example a mineral oil or a film-forming barrier).

Wetting agents, dispersing agents and emulsifying agents may be SFAs of the cationic, anionic, amphoteric or non-ionic type.

Suitable SFAs of the cationic type include quaternary ammonium compounds (for example cetyltrimethyl ammonium bromide), imidazolines and amine salts.

Suitable anionic SFAs include alkali metals salts of fatty acids, salts of aliphatic monoesters of sulphuric acid (for example sodium lauryl sulphate), salts of sulphonated aromatic compounds (for example sodium dodecylbenzenesulphonate, calcium dodecylbenzenesulphonate, butyl-naphthalene sulphonate and mixtures of sodium di-*isopropyl*- and tri-*isopropyl*-naphthalene sulphonates), ether sulphates, alcohol ether sulphates (for example sodium laureth-3-sulphate), ether carboxylates (for example sodium laureth-3-carboxylate), phosphate esters (products from the reaction between one or more fatty alcohols and phosphoric acid (predominately mono-esters) or phosphorus pentoxide (predominately di-esters), for example the reaction between lauryl alcohol and tetraphosphoric acid; additionally these products may be ethoxylated), sulphosuccinamates, paraffin or olefine sulphonates, taurates and lignosulphonates.

Suitable SFAs of the amphoteric type include betaines, propionates and glycines.

Suitable SFAs of the non-ionic type include condensation products of alkylene oxides, such as ethylene oxide, propylene oxide, butylene oxide or mixtures thereof, with fatty alcohols (such as oleyl alcohol or cetyl alcohol) or with alkylphenols (such as octylphenol, nonylphenol or octylcresol); partial esters derived from long chain fatty acids or hexitol anhydrides; condensation products of said partial esters with ethylene oxide; block polymers (comprising ethylene oxide and propylene oxide); alkanolamides; simple esters (for example fatty acid polyethylene glycol esters); amine oxides (for example lauryl dimethyl amine oxide); and lecithins.

Suitable suspending agents include hydrophilic colloids (such as polysaccharides, polyvinylpyrrolidone or sodium carboxymethylcellulose) and swelling clays (such as bentonite or attapulgite).

5 A compound of formula (1) may be applied by any of the known means of applying fungicidal compounds. For example, it may be applied, formulated or unformulated, to any part of the plant, including the foliage, stems, branches or roots, to the seed before it is planted or to other media in which plants are growing or are to be planted (such as soil surrounding the roots, the soil generally, paddy water or hydroponic culture systems), directly or it may be sprayed on, dusted on, applied by dipping, applied as a cream or paste
10 formulation, applied as a vapour or applied through distribution or incorporation of a composition (such as a granular composition or a composition packed in a water-soluble bag) in soil or an aqueous environment.

A compound of formula (1) may also be injected into plants or sprayed onto vegetation using electrodynamic spraying techniques or other low volume methods, or
15 applied by land or aerial irrigation systems.

Compositions for use as aqueous preparations (aqueous solutions or dispersions) are generally supplied in the form of a concentrate containing a high proportion of the active ingredient, the concentrate being added to water before use. These concentrates, which may include DCs, SCs, ECs, EWs, MEs SGs, SPs, WPs, WGs and CSs, are often required to
20 withstand storage for prolonged periods and, after such storage, to be capable of addition to water to form aqueous preparations which remain homogeneous for a sufficient time to enable them to be applied by conventional spray equipment. Such aqueous preparations may contain varying amounts of a compound of formula (1) (for example 0.0001 to 10%, by weight) depending upon the purpose for which they are to be used.

25 A compound of formula (1) may be used in mixtures with fertilisers (for example nitrogen-, potassium- or phosphorus-containing fertilisers). Suitable formulation types include granules of fertiliser. The mixtures suitably contain up to 25% by weight of the compound of formula (1).

The invention therefore also provides a fertiliser composition comprising a fertiliser
30 and a compound of formula (1).

The compositions of this invention may contain other compounds having biological activity, for example micronutrients or compounds having similar or complementary

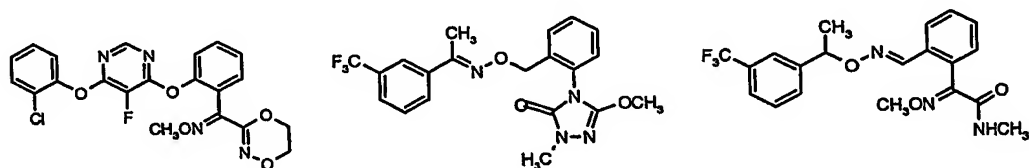
fungicidal activity or which possess plant growth regulating, herbicidal, insecticidal, nematocidal or acaricidal activity.

By including another fungicide, the resulting composition may have a broader spectrum of activity or a greater level of intrinsic activity than the compound of formula (1) alone. Further the other fungicide may have a synergistic effect on the fungicidal activity of the compound of formula (1).

The compound of formula (1) may be the sole active ingredient of the composition or it may be admixed with one or more additional active ingredients such as a pesticide, fungicide, synergist, herbicide or plant growth regulator where appropriate. An additional active ingredient may: provide a composition having a broader spectrum of activity or increased persistence at a locus; synergise the activity or complement the activity (for example by increasing the speed of effect or overcoming repellency) of the compound of formula (1); or help to overcome or prevent the development of resistance to individual components. The particular additional active ingredient will depend upon the intended utility of the composition.

Examples of fungicidal compounds which may be included in the composition of the invention are AC 382042 (*N*-(1-cyano-1,2-dimethylpropyl)-2-(2,4-dichlorophenoxy) propionamide), acibenzolar-S-methyl, alanycarb, aldimorph, anilazine, azaconazole, azafenidin, azoxystrobin, benalaxyl, benomyl, benthiavalicarb, biloxazol, bitertanol, blasticidin S, boscalid (new name for nicobifen), bromuconazole, bupirimate, captafol, captan, carbendazim, carbendazim chlorhydrate, carboxin, carpropamid, carvone, CGA 41396, CGA 41397, chinomethionate, chlorbenzthiazone, chlorothalonil, chlorozoline, clozylacon, copper containing compounds such as copper oxychloride, copper oxyquinolate, copper sulphate, copper tallate, and Bordeaux mixture, cyamidazosulfamid, cyazofamid (IKF-916), cyflufenamid, cymoxanil, cyproconazole, cyprodinil, debacarb, di-2-pyridyl disulphide 1,1'-dioxide, dichlofluanid, diclocymet, diclomezine, dicloran, diethofencarb, difenoconazole, difenzoquat, diflumetorim, *O,O*-di-*iso*-propyl-*S*-benzyl thiophosphate, dimefluazole, dimetconazole, dimethirimol, dimethomorph, dimoxystrobin, diniconazole, dinocap, dithianon, dodecyl dimethyl ammonium chloride, dodemorph, dodine, doguadine, edifenphos, epoxiconazole, ethaboxam, ethirimol, ethyl (*Z*)-*N*-benzyl-*N*[(methyl(methylthioethylideneaminooxycarbonyl)amino]thio)- β -alaninate, etridiazole, famoxadone, fenamidone, fenarimol, fenbuconazole, fenfuram, fenhexamid, fenoxanil (AC 382042),

fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferimzone, fluazinam, fludioxonil, flumetover, flumorph, fluoroimide, fluoxastrobin, fluquinconazole, flusilazole, flusulfamide, flutolanil, flutriafol, folpet, fosetyl-aluminium, fuberidazole, furalaxyl, furametpyr, guazatine, hexaconazole, hydroxyisoxazole, hymexazole, imazalil, imibenconazole, iminoctadine, iminoctadine triacetate, ipconazole, iprobenfos, iprodione, 5 iprovalicarb, isopropanyl butyl carbamate, isoprothiolane, kasugamycin, kresoxim-methyl, LY186054, LY211795, LY 248908, mancozeb, maneb, mefenoxam, mepanipyrim, mepronil, metalaxyl, metalaxyl M, metconazole, metiram, metiram-zinc, metominostrobin, metra-fenone, MON65500 (*N*-allyl-4,5-dimethyl-2-trimethylsilylthiophene-3-carboxamide), myc-lobutanil, NTN0301, neoasozin, nickel dimethyldithiocarbamate, nitrothale-isopropyl, 10 nuarimol, ofurace, organomercury compounds, orysastrobin, oxadixyl, oxasulfuron, oxolinic acid, oxpoconazole, oxycarboxin, pefurazoate, penconazole, pencycuron, phenazin oxide, phosphorus acids, phthalide, picoxystrobin, polyoxin D, polyram, probenazole, prochloraz, procymidone, propamocarb, propamocarb hydrochloride, propiconazole, propineb, propionic 15 acid, proquinazid, prothioconazole, pyraclostrobin, pyrazophos, pyrifenox, pyrimethanil, pyroquilon, pyroxyfur, pyrrolnitrin, quaternary ammonium compounds, quinomethionate, quinoxifen, quintozone, silthiofam (MON 65500), S-imazalil, simeconazole, sipconazole, sodium pentachlorophenate, spiroxamine, streptomycin, sulphur, tebuconazole, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamide, 2-(thiocyanomethylthio)benzothiazole, 20 thiophanate-methyl, thiram, tiadinil, timibenconazole, tolclofos-methyl, tolylfluanid, triadimefon, triadimenol, triazbutil, triazoxide, tricyclazole, tridemorph, trifloxystrobin, triflumizole, triforine, triticonazole, validamycin A, vapam, vinclozolin, XRD-563, zineb, ziram, zoxamide and compounds of the formulae:



25 The compounds of formula (1) may be mixed with soil, peat or other rooting media for the protection of plants against seed-borne, soil-borne or foliar fungal diseases.

Some mixtures may comprise active ingredients, which have significantly different physical, chemical or biological properties such that they do not easily lend themselves to the same conventional formulation type. In these circumstances other formulation types may be

prepared. For example, where one active ingredient is a water insoluble solid and the other a water insoluble liquid, it may nevertheless be possible to disperse each active ingredient in the same continuous aqueous phase by dispersing the solid active ingredient as a suspension (using a preparation analogous to that of an SC) but dispersing the liquid active ingredient as an emulsion (using a preparation analogous to that of an EW). The resultant composition is a suspoemulsion (SE) formulation.

The invention is illustrated by the following Examples in which the following abbreviations are used:

ml = millilitres

g = grammes

ppm = parts per million

s = singlet

d = doublet

t = triplet

q = quartet

m = multiplet

b = broad

f = fine

DCM = dichloromethane

DMF = *N, N*-dimethylformamide

DMSO = dimethylsulphoxide

NMR = nuclear magnetic resonance

HPLC = high performance liquid chromatography

EXAMPLE 1

This Example illustrates the preparation of [2-chloro-3-(2,4,6-trifluorophenyl)-[1,8]naphthyridin-4-yl]-isopropylamine (Compound No.3, Table 1) and [4-chloro-3-(2,4,6-trifluorophenyl)-[1,8]naphthyridin-2-yl]-isopropylamine (Compound No.3, Table 6).

Step 1

2-Aminonicotinic acid ethyl ester (2.4g) was suspended in dry toluene (50 ml). To the stirred suspension, 2,4,6-trifluorophenylacetyl chloride (3.0g) in dry toluene (20 ml) was added. The resulting suspension was heated to reflux for 8 hours. The reaction mixture was cooled to ambient temperature, diluted with diethyl ether (100 ml), washed with water and brine, and the organic layer was dried over magnesium sulphate. The solvent was evaporated to give 2-[2-(2,4,6-trifluorophenyl)-acetylamino]-nicotinic acid ethyl ester as a yellow solid (4.5g, 93%).

¹H NMR (CDCl₃) δ ppm: 1.33 (t,3H), 4.00 (s,2H), 4.31 (q,2H), 6.63 (m,2H); 7.00 (dd,1H), 8.25 (dd,1H), 8.50 (dd,1H), 10.86 (s,1H).

Step 2

The product of Step 1 (3.0 g) was dissolved in toluene (100ml) and sodium hydride (1.0g of an 60% dispersion in mineral oil) was added portion-wise. There was an immediate reaction, and the mixture was stirred at room temperature for 2 hours, and at 70°C for 5

5 hours. The reaction mixture was cooled and evaporated to give a white solid (3g), which was then acidified with dilute hydrochloric acid. The resultant white suspension was filtered and collected, washed with ether and dried to give 3-(2,4,6-trifluorophenyl)-1H[1,8]naphthyridine-2,4-dione (1.6g, 62%).

¹H NMR (d⁶-DMSO) δ ppm: 7.26 (m,3H), 8.35 (dd,1H), 8.59 (dd,1H), 11.21 (s,1H), 11.97 (s,1H).

Step 3

The product from Step 2 (1.0g) was added portion-wise to phosphorus oxychloride (18 ml) with stirring. The reaction was exothermic. The mixture became yellow with a fine suspension, and was then refluxed for 3 hours. Excess phosphorus oxychloride was
15 evaporated, the mixture was diluted with diethyl ether, and then washed with, ice water and brine, and the organic layer was dried over magnesium sulphate. The solvent was evaporated to give 2,4-dichloro-3-(2,4,6-trifluorophenyl)-[1,8]naphthyridine as a yellow solid (1.0g, 88%).

¹H NMR (CDCl₃) δ ppm: 6.81 (m,2H), 7.61 (dd,1H), 8.60 (dd,1H), 9.17 (dd,1H).

Step 4

20 The product from Step 3 (0.12g), isopropylamine (0.3 ml) and *N*-ethyl-diisopropylamine (0.10g) were refluxed in DMF (8ml) in a sealed tube at 50°C for 17 hours. The dark coloured reaction mixture was evaporated to give an oil, which was purified by flash column chromatography on silica gel (40-60, ethyl acetate/hexane 1/3) to give [2-chloro-3-(2,4,6-trifluorophenyl)-[1,8]naphthyridin-4-yl]-isopropylamine as white crystals (0.059 g,
25 46%), mp: 193°C.

¹H NMR (CDCl₃) δ ppm: 1.06 (d,6H), 3.68 (m,1H), 4.04 (m,1H), 6.80 (m,2H), 7.36 (dd,1H), 8.28 (dd,1H), 9.00 (dd,1H)

and [4-chloro-3-(2,4,6-trifluorophenyl)-[1,8]naphthyridin-2-yl]-isopropylamine as yellow
30 crystals, (0.039g, 30%), mp: 202°C.

¹H NMR (CDCl₃) δ ppm: 1.24 (d,6H), 4.35 (m,1H), 4.70 (m,1H), 6.93 (m,2H), 7.70 (dd,1H), 8.38 (dd,1H), 8.67 (dd,1H).

EXAMPLE 2

This Example illustrates the preparation of 2-chloro-4-morpholin-4-yl-3-(2,4,6-trifluorophenyl)-[1,8]naphthyridine (Compound No.20, Table 1)

2,4-Dichloro-3-(2,4,6-trifluoro-phenyl)-[1,8]naphthyridine (0.12g; the product from
5 Example 1, step 3) and morpholine (0.01 ml) were refluxed in DMF (8ml) in a sealed tube at
50°C for 17 hours. The dark coloured reaction mixture was evaporated to give an oil, which
was purified by flash column chromatography on silica gel (40-60, ethyl acetate/hexane 1/3)
to give the title compound as a yellow honey (0.087 g, 63%), M^+ 380 (m/z).
 ^1H NMR (CDCl_3) δ ppm: 3.34 (m,2H), 3.62 (m,2H), 6.90 (m,2H), 7.43 (dd,1H), 8.51
10 (dd,1H), 9.05 (dd,1H).

EXAMPLE 3

This Example illustrates the preparation of [6-bromo-2-chloro-3-(2,4,6-trifluoro-phenyl)-[1,8]naphthyridin-4-yl]-isopropylamine (Compound No.3, Table 31).

Starting with 2-amino-5-bromo-nicotinic acid ethyl ester using a process analogous to
15 that described in Example 1, steps 1 to 4, the title compound was synthesized (41%), as
yellow crystals, mp: 202°C.
 ^1H NMR (CDCl_3) δ ppm: 1.36 (d,6H), 3.94 (m,1H), 4.25 (m,1H), 7.06 (m,2H), 8.64 (d,1H),
9.25 (d,1H).

EXAMPLE 4

20 This Example illustrates the fungicidal properties of the compounds of the general
formula (1).

Compounds were tested in a leaf disk assay, with methods described below. Test
compounds were dissolved in DMSO, and diluted into water to 200 ppm.

Erysiphe graminis f.sp. hordei (barley powdery mildew): barley leaf segments were placed
25 on agar in a 24-well plate and sprayed with a solution of the test compound. After allowing to
dry completely, for between 12 and 24 hours, the leaf disks were inoculated with a spore
suspension of the fungus. After appropriate incubation the activity of a compound was
assessed four days after inoculation as preventive fungicidal activity.

Erysiphe graminis f.sp. tritici (wheat powdery mildew): wheat leaf segments were placed on
30 agar in a 24-well plate and sprayed with a solution of the test compound. After allowing to
dry completely, for between 12 and 24 hours, the leaf disks were inoculated with a spore

suspension of the fungus. After appropriate incubation the activity of a compound was assessed four days after inoculation as preventive fungicidal activity.

Puccinia recondita f.sp. tritici (wheat brown rust): wheat leaf segments were placed on agar in a 24-well plate and sprayed with a solution of the test compound. After allowing to dry

5 completely, for between 12 and 24 hours, the leaf disks were inoculated with a spore suspension of the fungus. After appropriate incubation the activity of a compound was assessed nine days after inoculation as preventive fungicidal activity.

Septoria tritici (wheat glume blotch): wheat leaf segments were placed on agar in a 24-well plate and sprayed with a solution of the test compound. After allowing to dry completely, for

10 between 12 and 24 hours, the leaf disks were inoculated with a spore suspension of the fungus. After appropriate incubation the activity of a compound was assessed four days after inoculation as preventive fungicidal activity.

Pyrenophora teres (barley net blotch): barley leaf segments were placed on agar in a 24-well plate and sprayed with a solution of the test compound. After allowing to dry completely, for

15 between 12 and 24 hours, the leaf disks were inoculated with a spore suspension of the fungus. After appropriate incubation the activity of a compound was assessed four days after inoculation as preventive fungicidal activity.

Pyricularia oryzae (rice blast): rice leaf segments were placed on agar in a 24-well plate and sprayed with a solution of the test compound. After allowing to dry completely, for between

20 12 and 24 hours, the leaf disks were inoculated with a spore suspension of the fungus. After appropriate incubation the activity of a compound was assessed four days after inoculation as preventive fungicidal activity.

Botrytis cinerea (grey mould): bean leaf disks were placed on agar in a 24-well plate and sprayed with a solution of the test compound. After allowing to dry completely, for between

25 12 and 24 hours, the leaf disks were inoculated with a spore suspension of the fungus. After appropriate incubation the activity of a compound was assessed four days after inoculation as preventive fungicidal activity.

Phytophthora infestans (late blight of potato on tomato): tomato leaf disks were placed on water agar in a 24-well plate and sprayed with a solution of the test compound. After

30 allowing to dry completely, for between 12 and 24 hours, the leaf disks were inoculated with a spore suspension of the fungus. After appropriate incubation the activity of a compound was assessed four days after inoculation as preventive fungicidal activity.

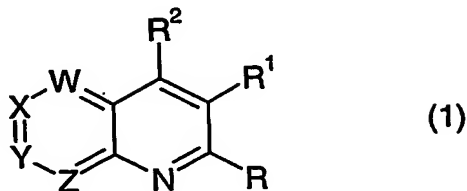
Plasmopara viticola (downy mildew of grapevine): grapevine leaf disks were placed on agar in a 24-well plate and sprayed a solution of the test compound. After allowing to dry completely, for between 12 and 24 hours, the leaf disks were inoculated with a spore suspension of the fungus. After appropriate incubation the activity of a compound was assessed seven days after inoculation as preventive fungicidal activity.

The following compounds gave greater than 60% control of disease:

Erysiphe graminis f.sp. hordei, Compound 3 (1); *Pyricularia oryzae*, Compound 3 (1); *Botrytis cinerea*, Compound 3 (1).

CLAIMS

1. The compound of the general formula (1):



wherein

one of W, X, Y and Z is N and the others are CR⁸;

R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl;

R and R² are independently H, halo, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, cyano or NR³R⁴, provided that at least one of R and R² is NR³R⁴;

R¹ is halo, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl-(C₁₋₆)alkyl, C₁₋₈ alkoxy, C₁₋₈ alkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroaryloxy, heteroarylthio, aryl(C₁₋₄)alkyl, aryl(C₁₋₄)alkoxy, heteroaryl(C₁₋₄)alkyl, heteroaryl(C₁₋₄)alkoxy, aryl(C₁₋₄)alkylthio, heteroaryl(C₁₋₄)alkylthio, morpholino, piperidino or pyrrolidino;

R³ and R⁴ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl, heteroaryl(C₁₋₈)alkyl, NR⁵R⁶, provided that not both R³ and R⁴ are H or NR⁵R⁶, or R³ and R⁴ together form a C₃₋₆ alkylene chain optionally substituted with one or more methyl groups, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a

morpholine ring; and

R⁵ and R⁶ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)-alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl or heteroaryl(C₁₋₈)alkyl; any of the alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties being optionally substituted with halogen, cyano, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxycarbonyl,

C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylamino or C₁₋₆ dialkylamino, and any of the aryl or heteroaryl groups or moieties being optionally substituted with one or more substituents selected from halo, hydroxy, mercapto, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₂₋₆ alkenyloxy, C₂₋₆ alkynyloxy, halo(C₁₋₆)alkyl, halo(C₁₋₆)-alkoxy, C₁₋₆ alkylthio, halo(C₁₋₆)alkylthio, hydroxy(C₁₋₆)alkyl, C₁₋₄alkoxy(C₁₋₆)alkyl,

C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothiocyanato, nitro, -NR^mR^m, -NHCOR^m, -NHCONR^mR^m, -CONR^mR^m, -SO₂R^m, -OSO₂R^m, -COR^m, -CR^m=NR^m or -N=CR^mR^m, in which R^m and R^m are independently hydrogen, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy, halo-(C₁₋₄)alkoxy, C₁₋₄ alkylthio, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenyl or benzyl, the phenyl and benzyl groups being optionally substituted with halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy.

2. A compound according to claim 1 wherein W, X and Y are all CH and Z is N.

3. A compound according to claim 1 or 2 wherein R² is NR³R⁴.

4. A compound according to claim 3 wherein R is halo.

5. A compound according to any one of the preceding claims wherein R³ is C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₂₋₄ alkenyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl or phenyl-amino in which the phenyl ring is optionally substituted with one, two or three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy and halo(C₁₋₄)-alkoxy, and R⁴ is H, C₁₋₄ alkyl or amino, or R³ and R⁴ together form a C₄₋₆ alkylene chain optionally substituted with methyl, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine ring.

6. A compound according to any one of the preceding claims wherein R¹ is phenyl optionally substituted with from one to five halogen atoms or with from one to three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)-alkoxy.

7. A compound according to claim 6 wherein R¹ is 2,6-difluorophenyl, 2-fluoro-6-chlorophenyl, 2,5,6-trifluorophenyl, 2,4,6-trifluorophenyl, 2,6-difluoro-4-methoxyphenyl or pentafluorophenyl.

8. A compound according to claim 1 wherein one of W, X, Y and Z is N and the others are CR⁸; R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl; one of R and R² is NR³R⁴ and the other is halo; R¹ is halo, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, C₁₋₈ alkoxy, C₁₋₈ alkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroaryloxy, heteroarylthio, aryl(C₁₋₄)alkyl, aryl(C₁₋₄)alkoxy, heteroaryl(C₁₋₄)alkyl, heteroaryl(C₁₋₄)alkoxy, aryl(C₁₋₄)alkylthio, heteroaryl(C₁₋₄)alkylthio, morpholino, piperidino or pyrrolidino; R³ and R⁴ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl, heteroaryl(C₁₋₈)alkyl, NR⁵R⁶, provided that not both R³ and R⁴ are H or NR⁵R⁶, or R³ and R⁴ together form a C₃₋₆ alkylene chain optionally substituted with one or more methyl groups, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine ring; and R⁵ and R⁶ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl or heteroaryl(C₁₋₈)alkyl; any of the alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties being optionally substituted with halogen, cyano, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxy carbonyl, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylamino or C₁₋₆ dialkylamino, and any of the aryl, heteroaryl, aryloxy or heteroaryl groups being optionally substituted with one or more substituents selected from halo, hydroxy, mercapto, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₂₋₆ alkenyloxy, C₂₋₆ alkynyloxy, halo(C₁₋₆)alkyl, halo(C₁₋₆)alkoxy, C₁₋₆ alkylthio, halo(C₁₋₆)alkylthio, hydroxy(C₁₋₆)alkyl, C₁₋₄alkoxy(C₁₋₆)alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothiocyanato, nitro, -NR^{'''}R^{'''}, -NHCOR^{'''}, -NHCONR^{'''}R^{'''}, -CONR^{'''}R^{'''}, -SO₂R^{'''}, -OSO₂R^{'''}, -COR^{'''}, -CR^{'''}=NR^{'''} or -N=CR^{'''}R^{'''}, in which R^{'''} and R^{'''} are independently hydrogen, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy, halo(C₁₋₄)alkoxy, C₁₋₄ alkylthio, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenyl or benzyl, the phenyl and benzyl groups being optionally substituted with halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy.

9. A compound according to claim 1 wherein one of W, X, Y and Z is N and the others are CR⁸; R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl; one of R and R² is NR³R⁴ and the other is halo; R¹ is halo, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₈

cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, C₁₋₈ alkoxy, C₁₋₈ alkylthio, aryl, aryloxy, arylthio, heteroaryl, heteroaryloxy, heteroarylthio, aryl(C₁₋₄)alkyl, aryl(C₁₋₄)alkoxy, heteroaryl(C₁₋₄)alkyl, heteroaryl(C₁₋₄)alkoxy, aryl(C₁₋₄)alkylthio, heteroaryl(C₁₋₄)alkylthio, morpholino, piperidino or pyrrolidino; R³ is C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₂₋₄ alkenyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl or phenylamino in which the phenyl ring is optionally substituted with one, two or three substituents selected from halo, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy and halo(C₁₋₄)alkoxy; and R⁴ is H, C₁₋₄ alkyl or amino, or R³ and R⁴ together form a C₄₋₆ alkylene chain optionally substituted with methyl, or, together with the nitrogen atom to which they are attached, R³ and R⁴ form a morpholine ring; any of the alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties being optionally substituted with halogen, cyano, C₁₋₆ alkoxy, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxy carbonyl, C₁₋₆ haloalkoxy, C₁₋₆ alkylthio, C₁₋₆ alkylamino or C₁₋₆ dialkylamino, and any of the aryl or heteroaryl groups or moieties being optionally substituted with one or more substituents selected from halo, hydroxy, mercapto, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₂₋₆ alkenyloxy, C₂₋₆ alkynyloxy, halo(C₁₋₆)alkyl, halo(C₁₋₆)alkoxy, C₁₋₆ alkylthio, halo(C₁₋₆)alkylthio, hydroxy(C₁₋₆)alkyl, C₁₋₄ alkoxy(C₁₋₆)alkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothiocyanato, nitro, -NR^{'''}R^{'''}, -NHCOR^{'''}, -NHCONR^{'''}R^{'''}, -CONR^{'''}R^{'''}, -SO₂R^{'''}, -OSO₂R^{'''}, -COR^{'''}, -CR^{'''}=NR^{'''} or -N=CR^{'''}R^{'''}, in which R^{'''} and R^{'''} are independently hydrogen, C₁₋₄ alkyl, halo(C₁₋₄)alkyl, C₁₋₄ alkoxy, halo(C₁₋₄)alkoxy, C₁₋₄ alkylthio, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkyl(C₁₋₄)alkyl, phenyl or benzyl, the phenyl and benzyl groups being optionally substituted with halogen, C₁₋₄ alkyl or C₁₋₄ alkoxy.

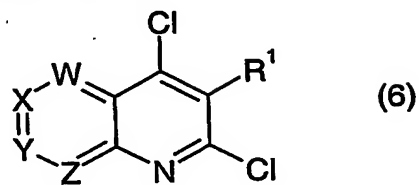
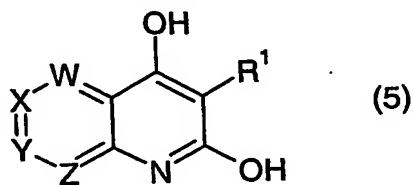
10. A compound according to claim 1 wherein one of W, X, Y and Z is N and the others are CR⁸; R⁸ is H, halo, C₁₋₄ alkyl, C₁₋₄ alkoxy or halo(C₁₋₄)alkyl; R and R² are independently H, halo, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, cyano or NR³R⁴, provided that at least one of R and R² is NR³R⁴; R¹ is optionally substituted phenyl; R³ and R⁴ are independently H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, aryl(C₁₋₈)alkyl, C₃₋₈ cycloalkyl, C₃₋₈ cycloalkyl(C₁₋₆)alkyl, heteroaryl, heteroaryl(C₁₋₈)alkyl, NR⁵R⁶, provided that not both R³ and R⁴ are H or NR⁵R⁶, or R³ and R⁴ together form a C₃₋₆ alkylene chain optionally substituted with one or more methyl groups, or,

together with the nitrogen atom to which they are attached, R^3 and R^4 form a morpholine ring; and R^5 and R^6 are independently H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, aryl(C_{1-8})alkyl, C_{3-8} cycloalkyl, C_{3-8} cycloalkyl(C_{1-6})alkyl, heteroaryl or heteroaryl(C_{1-8})-alkyl; any of the alkyl, alkenyl, alkynyl or cycloalkyl groups or moieties being optionally substituted with halogen, cyano, C_{1-6} alkoxy, C_{1-6} alkyl-carbonyl, C_{1-6} alkoxy-carbonyl, C_{1-6} haloalkoxy, C_{1-6} alkylthio, C_{1-6} alkylamino or C_{1-6} dialkylamino, and any of the aryl or heteroaryl groups or moieties, including the phenyl group of R^1 , being optionally substituted with one or more substituents selected from halo, hydroxy, mercapto, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, C_{2-6} alkenyloxy, C_{2-6} alkynyloxy, halo(C_{1-6})alkyl, halo(C_{1-6})alkoxy, C_{1-6} alkylthio, halo(C_{1-6})alkylthio, hydroxy(C_{1-6})alkyl, C_{1-4} alkoxy(C_{1-6})alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl(C_{1-4})alkyl, phenoxy, benzyloxy, benzoyloxy, cyano, isocyano, thiocyanato, isothiocyanato, nitro, $-NR^mR^n$, $-NHCOR^m$, $-NHCONR^mR^n$, $-CONR^mR^n$, $-SO_2R^m$, $-OSO_2R^m$, $-COR^m$, $-CR^m=NR^m$ or $-N=CR^mR^n$, in which R^m and R^n are independently hydrogen, C_{1-4} alkyl, halo(C_{1-4})alkyl, C_{1-4} alkoxy, halo(C_{1-4})alkoxy, C_{1-4} alkylthio, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl(C_{1-4})alkyl, phenyl or benzyl, the phenyl and benzyl groups being optionally substituted with halogen, C_{1-4} alkyl or C_{1-4} alkoxy.

11. A compound according to claim 1 wherein one of W, X, Y and Z is N and the others are CR^8 ; R^8 is H, halo, C_{1-4} alkyl, C_{1-4} alkoxy or halo(C_{1-4})alkyl; R is halo; R^1 is phenyl optionally substituted with from one to five halogen atoms or with from one to three substituents selected from halo, C_{1-4} alkyl, halo(C_{1-4})alkyl, C_{1-4} alkoxy or halo(C_{1-4})alkoxy, R^2 is NR^3R^4 ; R^3 is C_{1-4} alkyl, halo(C_{1-4})alkyl, C_{2-4} alkenyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl(C_{1-4})alkyl or phenylamino in which the phenyl ring is optionally substituted with one, two or three substituents selected from halo, C_{1-4} alkyl, halo(C_{1-4})alkyl, C_{1-4} alkoxy and halo(C_{1-4})alkoxy; and R^4 is H, C_{1-4} alkyl or amino, or R^3 and R^4 together form a C_{4-6} alkylene chain optionally substituted with methyl, or, together with the nitrogen atom to which they are attached, R^3 and R^4 form a morpholine ring.

12. A process for preparing a compound according to claim as herein described.

13. The intermediate chemicals having the general formulae (5) and (6):



wherein W, X, Y, Z and R¹ are as defined in claim 1.

5

14. A plant fungicidal composition comprising a fungicidally effective amount of a compound as defined in claim 1 and a suitable carrier or diluent therefor.

10

15. A method of combating or controlling phytopathogenic fungi which comprises applying to a plant, to a seed of a plant, to the locus of the plant or seed or to soil or to any other plant growth medium, a fungicidally effective amount of a compound according to claim 1 or a composition according to claim 14.

PCT Application

GB0305248

